

# YM158 (free base)

Catalog No: tcsc7406



## Available Sizes

**Size:** 1mg

**Size:** 5mg

**Size:** 10mg



## Specifications

**CAS No:**

179102-65-9

**Formula:**

$C_{32}H_{33}ClN_6O_5S_2$

**Pathway:**

GPCR/G Protein;GPCR/G Protein

**Target:**

Leukotriene Receptor;Prostaglandin Receptor

**Purity / Grade:**

>98%

**Solubility:**

10 mM in DMSO

**Alternative Names:**

YM-57158

**Observed Molecular Weight:**

681.22

## Product Description

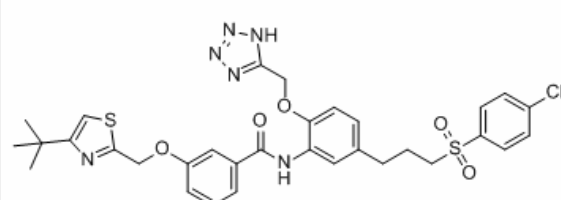
YM158 free base is a potent and selective **LTD<sub>4</sub>** and **TXA<sub>2</sub> receptor** antagonist with **pA<sub>2</sub>** values of about 8.87 and 8.81, respectively.

IC<sub>50</sub> & Target: pA<sub>2</sub>: 8.87 (LTD<sub>4</sub> receptor)<sup>[1]</sup>

pA<sub>2</sub>: 8.81 (TXA<sub>2</sub> receptor)<sup>[1]</sup>

**In Vitro:** YM158 antagonizes leukotriene (LT) D<sub>4</sub> and thromboxane (TX) A<sub>2</sub> receptors. Functional assays in vitro show that YM158 exhibits competitive dual antagonism of LTD<sub>4</sub> and TXA<sub>2</sub> receptor-mediated contraction of isolated guinea pig tracheae, with pA<sub>2</sub> values of about 8.87 and 8.81, respectively. Its antagonistic activity for the LTD<sub>4</sub> receptor is approximately 6.5 times less potent than that of Montelukast, and that for the TXA<sub>2</sub> receptor is 2.5 times more potent than that of Seratrodast. YM158 also inhibits PGD<sub>2</sub>- and PGF<sub>2α</sub>-induced tracheal contractions. YM158 antagonizes the stable TXA<sub>2</sub> analog U46619-induced aggregation of both guinea pig and human platelets and inhibits the LTD<sub>4</sub>-induced contraction of guinea pig ileum. YM158 produces a concentration-dependent inhibition of guinea pig ileum contraction induced by 1 nM LTD<sub>4</sub> with an IC<sub>50</sub> value of 0.58 nM<sup>[1]</sup>.

**In Vivo:** YM158, an orally active dual antagonist for LTD<sub>4</sub> and TXA<sub>2</sub> receptors, is expected to have a stronger antiasthmatic efficacy in a broader class of asthmatic patients than single antagonistic drugs. The effect of YM158 is examined on these asthmatic responses in mediator-controlled and passively sensitized guinea pigs. Because the inhibitory effects of YM158 on increase in the airway resistance induced by LTD<sub>4</sub> or U46619 are shown to be dose-dependent when p.o. administered 1 h before LTD<sub>4</sub> or U46619 injection, with ED<sub>50</sub> values of 8.6 and 14 mg/kg, respectively, the antagonistic activities of p.o. YM158 for LTD<sub>4</sub> and TXA<sub>2</sub> receptors are exhibited at the same dose range. Oral YM158 shows significant effects, approximately the same as the combination of Pranlukast and Daltroban on antigen-induced response under various conditions; namely, where LTD<sub>4</sub> is predominant, TXA<sub>2</sub> is predominant; or where both mediators participated equally. In groups not treated with Indomethacin, administration of Daltroban (10 mg/kg), a combination of Pranlukast (30 mg/kg) and Daltroban (10 mg/kg), or YM158 (30 mg/kg) significantly prolongs the onset time for asthmatic response and significantly suppresses symptoms<sup>[2]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!